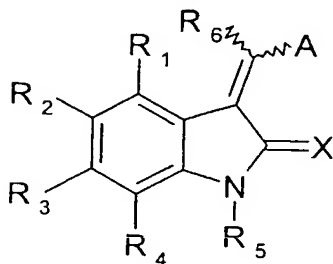


CLAIMS

1. Use of a compound of general formula I



I

wherein

R_1 , R_2 , R_3 and R_4 are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, $-OR_{10}$, $-C(O)R_{10}$, $-C(O)OR_{10}$, $OC(O)R_{10}$, $-NR_{10}R_{11}$, $-C(O)NR_{10}R_{11}$, $-NHC(O)R_{10}$, $-SR_{10}$, $-S(O)R_{10}$, $-S(O)_2R_{10}$, $-S(O)_2NR_{10}R_{11}$ and $-S(O)OR_{10}$, wherein R_{10} and R_{11} are the same or different and independently selected from the group consisting of hydrogen, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{10} and R_{11} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, $-OR_{12}$, $-C(O)R_{12}$, $-C(O)OR_{12}$, $-OC(O)R_{12}$, $-NR_{12}R_{13}$, $-C(O)NR_{12}R_{13}$, $-NHC(O)R_{12}$, $-SR_{12}$, $-S(O)R_{12}$, $-S(O)_2R_{12}$, $-S(O)_2NR_{12}R_{13}$ and $-S(O)OR_{12}$, wherein R_{12} and R_{13} are the same or different and independently selected from the group consisting of hydrogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{12} and R_{13} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} alkylthio, C_{1-4} alkylamino, C_{1-4} alkoxycarbonyl, carboxy, $-CONH_2$, $S(O)NH_2$, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl,

heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄alkoxycarbonyl, carboxy, -CONH₂ or -S(O)NH₂;
X is O or S;

- 5 R₅ is hydrogen, hydroxy, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, C₁₋₆ alkoxy, carbonyl, carboxy, amido, thioamido, guanyl, guanidiny, ureidyl, sulfonyl, trihalomethanesulfonyl, -C(O)OR₁₄, -C(O)R₁₄, wherein R₁₄ is hydrogen, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, cycloalkyl or aryl;
- R₆ is hydrogen, C₁₋₆ alkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, halogen, -OR₇, -
- 10 C(O)R₇, -C(O)OR₇, -NR₇R₈, S(O)₂NR₇R₈, wherein R₇ and R₈ are independently hydrogen, C₁₋₆ alkyl, aryl or heterocyclyl, said C₁₋₆ alkyl or heterocyclyl being optionally substituted by heterocyclyl, -OR₇, -C(O)R₇ or C(O)OR₇, the zigzag line indicating that the group denoted R₆ is present as the E- or Z-isomer;
- A is phenyl or a monocyclic or bicyclic heteroaryl ring, optionally substituted at one or
- 15 more positions with hydrogen, halogen, trihalomethyl, C₁₋₁₂-alkyl, C₂₋₁₂-alkenyl, C₄₋₁₂-alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR₁₀, -C(O)R₁₀, -C(O)OR₁₀, OC(O)R₁₀, -NR₁₀R₁₁, -C(O)NR₁₀R₁₁, -NHC(O)R₁₀, -SR₁₀, -S(O)R₁₀, -S(O)₂R₁₀, -S(O)₂NR₁₀R₁₁ and -S(O)OR₁₀, wherein R₁₀ and R₁₁ are the same or different
- 20 and independently selected from the group consisting of hydrogen, C₁₋₁₂-alkyl, C₂₋₁₂-alkenyl, C₄₋₁₂-alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R₁₀ and R₁₁, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C₁₋₁₂-alkyl, C₂₋₁₂-alkenyl, C₄₋₁₂-alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, aryl, heteroaryl, carbocyclyl and
- 25 heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR₁₂, -C(O)R₁₂, -C(O)OR₁₂, -OC(O)R₁₂, -NR₁₂R₁₃, -C(O)NR₁₂R₁₃, -NHC(O)R₁₂, -SR₁₂, -S(O)R₁₂, -S(O)₂R₁₂, -S(O)₂NR₁₂R₁₃ and -S(O)OR₁₂, wherein R₁₂ and R₁₃ are the same or
- 30 different and independently selected from the group consisting of hydrogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R₁₂ and R₁₃, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally
- 35 substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo,

halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄ alkoxy, carboxy, -CONH₂ or S(O)NH₂, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄ alkoxy, carboxy, -CONH₂ or -S(O)NH₂; the zigzag line indicating that the group denoted A is present as the E- or Z-isomer; or pharmaceutically acceptable salts thereof, for the preparation of a medicament for the prevention, treatment or amelioration of multiple sclerosis, or to delay of the onset of or reduce the relapse rate in multiple sclerosis.

2. The use according to claim 1 wherein, in the compound of formula I, X is O or S;

R₁, R₂, R₃ and R₄ are the same or different and independently selected from the group consisting of hydrogen, C₁₋₁₀ alkyl, C₁₋₁₀ alkoxy, aryl, heteroaryl, aryloxy, C₁₋₁₀ alkylaryl, C₁₋₁₀ alkylaryloxy, halogen, trihalomethyl, S(O)R₁₈, S(O)₂R₁₈, S(O)₂NR₁₈R₁₉, S(O)₃R₁₈, SR₁₈, NO₂, NR₁₈R₁₉, OR₁₈, CN, C(O)R₁₈, C(O)OR₁₈, OC(O)R₁₈, NHC(O)R₁₈, (CH₂)_nC(O)₂R₁₈ and C(O)NR₁₈R₁₉, wherein R₁₈ is hydrogen, C₁₋₆ alkyl, heteroaryl or aryl, said C₁₋₆ alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR₂₆R₂₇, wherein R₂₆ and R₂₇ are independently hydrogen or C₁₋₆ alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R₁₉ is hydrogen, C₁₋₆ alkyl or aryl, and n is 0-3;

A is phenyl or a monocyclic or bicyclic heteroaryl ring selected from the group consisting of pyrrole, pyrazole, imidazole, 1,2,3-triazole, 1,2,4-triazole, oxazole, isoxazole, thiazole, isothiazole, 2-sulfonylfuran, 4-alkylfuran, 1,2,3-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3,4-oxatriazole, 1,2,3,5-oxatriazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,3,4-thiatriazole, 1,2,3,5-thiatriazole, tetrazole and indole, optionally substituted at one or more positions with C₁₋₁₀ alkyl, C₁₋₁₀ alkoxy, aryl, heteroaryl, aryloxy, C₁₋₁₀ alkylaryl, C₁₋₁₀ alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R₁₈, S(O)₂R₁₈, S(O)₂NR₁₈R₁₉, S(O)₃R₁₈, SR₁₈, NO₂, NR₁₈R₁₉, OH, CN, CH₂OH, C(O)R₁₈, C(O)OR₁₈, OC(O)R₁₈, NHC(O)R₁₈, (CH₂)_nC(O)₂R₁₈ and C(O)NR₁₈R₁₉, wherein R₁₈, R₁₉ and n are as indicated above;

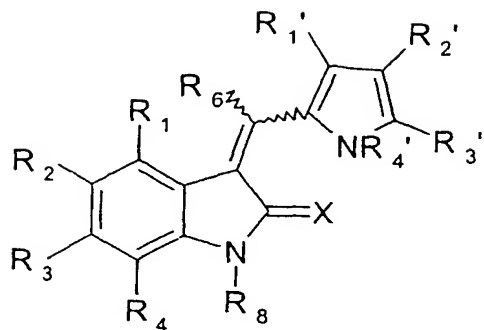
R₅ is hydrogen or C₁₋₆ alkyl; and

R₆ is hydrogen.

3. The use of claim 1 wherein, in the compound of formula I, R₅ is hydrogen.

4. The use of claim 1 wherein, in the compound of formula I, X is oxygen.

5. The use of claim 1 wherein, in the compound of formula I, R_1 , R_2 , R_3 and R_4 are the same or different and independently selected from hydrogen and C_{1-6} alkyl.
- 5 6. The use of claim 1 wherein, in the compound of formula I, R_6 is hydrogen or COOH.
7. The use of any of claims 1-6 wherein, in the compound of formula I, A is pyrrole, phenyl or indole, said pyrrole, phenyl or indole being optionally substituted at one or more positions with C_{1-10} alkyl, C_{1-10} alkoxy, aryl, heteroaryl, aryloxy, C_{1-10} alkylaryl, C_{1-10} alkylaryloxy, halogen, trihalomethyl, a sugar residue, $S(O)R_{18}$, $S(O)_2R_{18}$, $S(O)_2NR_{18}R_{19}$, $S(O)_3R_{18}$, SR_{18} , NO_2 , $NR_{18}R_{19}$, OH, CN, CH_2OH , $C(O)R_{18}$, $C(O)OR_{18}$, $OC(O)R_{18}$, $NHC(O)R_{18}$, $(CH_2)_nC(O)_2R_{18}$ and $C(O)NR_{18}R_{19}$, wherein R_{18} , R_{19} and n are as indicated in claim 2.
- 10 8. The use of claim 7 wherein, in the compound of formula I, A is pyrrole substituted at position 3 and 5 with C_{1-6} alkyl, or at position 3 with C_{1-6} alkyl and at position 5 with CH_2OH , COOH or a sugar residue, or at position 3 and 5 with C_{1-6} alkyl and at position 4 with halogen, or at position 5 with $C(O)O-C_{1-6}$ alkyl, and at position 3 with C_{1-6} alkyl.
- 15 9. The use of claim 7 wherein, in the compound of formula I, A is phenyl substituted at position 2 and 5 with C_{1-6} alkyl, C_{1-6} alkoxy, halogen, C_{1-6} alkyl- $NR_{26}R_{27}$, $NH-C_{1-6}$ alkyl- $NR_{26}R_{27}$ or $O-C_{1-6}$ alkyl- $NR_{26}R_{27}$, wherein R_{26} and R_{27} are independently hydrogen or C_{1-6} alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring.
- 20 10. The use of claim 7 wherein, in the compound of formula I, A is indole.
- 25 11. The use of claim 7 wherein the compound is 3-(3,5-dimethyl-1H-pyrrol-2-yl-methylene)-1,3-dihydro-indol-2-one.
- 30 12. The use of claim 7 wherein the compound is 3-(2,5-dimethoxy-benzylidene)-1,3-dihydroindol-2-one.
- 35 13. The use of claim 7 wherein the compound is 3-(1H-indol-3-ylmethylene)-1,3-dihydroindol-2-one.
14. The use of claim 1, wherein the compound is a compound of formula II



II

wherein R_1 , R_2 , R_3 , R_4 , R_6 and X are as indicated in claim 1,

R_8 and R_4' are independently hydrogen, hydroxy, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl,

cycloalkyl, heterocyclyl, aryl, heteroaryl, C_{1-6} alkoxy, carbonyl, carboxy, amido,

thioamido, guanyl, guanidinyl, ureidyl, sulfonyl, trihalomethanesulfonyl, $-PO(OR)(OR')$,

wherein R and R' are independently selected from hydrogen or C_{1-6} alkyl, $-OR_{10}$, $-$

$C(O)R_{10}$, $-C(O)OR_{10}$, $OC(O)R_{10}$, $-OC(O)OR_{10}$, $-NR_{10}R_{11}$, $-C(O)NR_{10}R_{11}$, $-NHC(O)R_{10}$, $-SR_{10}$,

$-S(O)R_{10}$, $-S(O)_2R_{10}$, $-S(O)_2NR_{10}R_{11}$, $-S(O)OR_{10}$ and CH_2 -aryl- OR_{10} , wherein R_{10} and R_{11}

are the same or different and independently selected from the group consisting of

hydrogen, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl,

heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{10} and R_{11} , together with the

nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of

C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl,

heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more,

same or different substituents selected from the group consisting of hydrogen, halogen,

trihalomethyl, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, hydroxy, carboxy,

formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino,

carbamido, $-OR_{12}$, $-C(O)R_{12}$, $-C(O)OR_{12}$, $-OC(O)R_{12}$, $-OC(O)OR_{12}$, $-NR_{12}R_{13}$, $-$

$C(O)NR_{12}R_{13}$, $-OC(O)NR_{10}R_{11}$, $-NHC(O)R_{12}$, $-SR_{12}$, $-S(O)R_{12}$, $-S(O)_2R_{12}$, $-S(O)_2NR_{12}R_{13}$

and $-S(O)OR_{12}$, wherein R_{12} and R_{13} are the same or different and independently

selected from the group consisting of hydrogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl,

C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{12} and R_{13} ,

together with the nitrogen atom to which they are attached form a heterocyclic or

heteroaryl ring, each C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl,

heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with

one or more, same or different substituents selected from the group consisting of

hydrogen, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, nitro, cyano, amino, oxo, halogen,

trihalomethyl, C_{1-4} alkylthio, C_{1-4} alkylamino, C_{1-4} alkoxycarbonyl, carboxy, $-CONH_2$, $-$

$S(O)NH_2$, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl

or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C_{1-4}

alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄alkoxycarbonyl, carboxy, -CONH₂ or -S(O)NH₂; -C(R₂₄R₂₅)-OR₁₆ or -OC(O)R₁₆, wherein R₁₆ is hydrogen, C₁₋₆ alkyl, aralkyl, acyl or -PO(OR)(OR'), -C(R₂₄R₂₅)-NR₂₆R₂₇, wherein R₂₄ is hydrogen, C₁₋₆ alkyl or aryl, R₂₅ is hydrogen, and R₂₆ and R₂₇ are independently hydrogen or C₁₋₆ alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heteroaryl ring optionally substituted with hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄alkoxycarbonyl, carboxy, -CONH₂ or -S(O)NH₂; -NR₂₀R₂₁, -O(CH₂)_mNR₂₀R₂₁, -N(CH₂)_mNR₂₀R₂₁, -O(CH₂)_mC(O)R₂₂, -N(CH₂)_mC(O)R₂₂, wherein m is 0, 1, 2 or 3, R₂₀ and R₂₁ are the same or different and independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, cycloalkyl, aryl, carbonyl, acetyl, trihalomethylcarbonyl, carboxy, sulfonyl or trihalomethanesulfonyl, or R₂₀ and R₂₁ together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, and R₂₂ is hydroxy, C₁₋₆ alkoxy, aryloxy, amino, hydroxylamino, carboxy or -NR₂₀R₂₁, wherein R₂₀ and R₂₁ are as indicated above; and R₁', R₂' and R₃' are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl, C₁₋₁₂-alkyl, C₂₋₁₂-alkenyl, C₄₋₁₂-alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR₁₀, -C(O)R₁₀, -C(O)OR₁₀, OC(O)R₁₀, -NR₁₀R₁₁, -C(O)NR₁₀R₁₁, -NHC(O)R₁₀, -SR₁₀, -S(O)R₁₀, -S(O)₂R₁₀, -S(O)₂NR₁₀R₁₁ and -S(O)OR₁₀, wherein R₁₀ and R₁₁ are the same or different and independently selected from the group consisting of hydrogen, C₁₋₁₂-alkyl, C₂₋₁₂-alkenyl, C₄₋₁₂-alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R₁₀ and R₁₁, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C₁₋₁₂-alkyl, C₂₋₁₂-alkenyl, C₄₋₁₂-alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR₁₂, -C(O)R₁₂, -C(O)OR₁₂, -OC(O)R₁₂, -NR₁₂R₁₃, -C(O)NR₁₂R₁₃, OC(O)NR₁₂R₁₃, -NHC(O)R₁₂, -SR₁₂, -S(O)R₁₂, -S(O)₂R₁₂, -S(O)₂NR₁₂R₁₃ and -S(O)OR₁₂, wherein R₁₂ and R₁₃ are the same or different and independently selected from the group consisting of hydrogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R₁₂ and R₁₃, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl

substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄alkoxycarbonyl, carboxy, -CONH₂ or S(O)NH₂, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄alkoxycarbonyl, carboxy, -CONH₂ or -S(O)NH₂.

15. The use of claim 14 wherein, in the compound of formula II, R₁, R₂, R₃, R₄, R₆ and X are as indicated in claim 2, and R₁', R₂' and R₃' are the same or different and independently selected from the group consisting of with C₁₋₁₀ alkyl, C₁₋₁₀ alkoxy, aryl, heteroaryl, aryloxy, C₁₋₁₀ alkylaryl, C₁₋₁₀ alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R₁₈, S(O)₂R₁₈, S(O)₂NR₁₈R₁₉, S(O)₃R₁₈, SR₁₈, NO₂, NR₁₈R₁₉, OR₁₈, CN, CH₂OH, C(O)R₁₈, C(O)OR₁₈, OC(O)R₁₈, NHC(O)R₁₈, (CH₂)_nC(O)₂R₁₈ and C(O)NR₁₈R₁₉, wherein R₁₈ is hydrogen, C₁₋₆ alkyl, heteroaryl or aryl, said C₁₋₆ alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR₂₆R₂₇, wherein R₂₆ and R₂₇ are independently hydrogen or C₁₋₆ alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R₁₉ is hydrogen, C₁₋₆ alkyl or aryl, and n is 0-3.

16. The use of claim 15 wherein, in the compound of formula II, R₁, R₂, R₃ and R₄ are the same or different and independently selected from hydrogen, halogen and C₁₋₆ alkyl, or R₂ is hydroxy or heteroaryl, such as pyridyl, or a group C(O)R₂₀, wherein R₂₀ is heteroaryl, such as pyridyl or thienyl, and R₁, R₃ and R₄ are hydrogen.

17. The use of claim 15 wherein, in the compound of formula II, R₁', R₂' and R₃' are the same or different and independently selected from hydrogen, halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, CH₂OH, C(O)OR₁₈ or C(O)NR₁₈R₁₉, wherein R₁₈ and R₁₉ are as defined in claim 15.

18. The use of claim 14 or 15 wherein, in the compound of formula II, R₁' and R₃' are both C₁₋₆ alkyl, in particular methyl, and R₂' is hydrogen, or wherein R₁' is C₁₋₆ alkyl and R₃' is C₁₋₆ alkoxy, CH₂OH, C(O)OR₁₈ or C(O)NR₁₈R₁₉, wherein R₁₈ and R₁₉ are as defined in claim 15, or wherein R₁' and R₃' are both C₁₋₆ alkyl, in particular methyl, and R₂' is halogen, in particular chloro or bromo, or wherein R₁' is C₁₋₆ alkyl and R₃' is C(O)O-C₁₋₆ alkyl, or wherein R₁' is C₁₋₆ alkyl and R₃' is C(O)NH-C₁₋₆alkyl substituted with hydroxy.

19. The use of claim 14 wherein, in the compound of formula II, R_8 and $R_{4'}$ are independently hydrogen, hydroxy, $-\text{PO}(\text{OR})(\text{OR}')$, $-\text{OR}_{10}$, $-\text{C}(\text{O})\text{OR}_{10}$, $-\text{C}(\text{O})\text{NR}_{10}\text{R}_{11}$, $-\text{C}(\text{O})\text{R}_{14}$, $-\text{C}(\text{R}_{24}\text{R}_{25})\text{OR}_{16}$, $-\text{OC}(\text{O})\text{R}_{16}$ or $-\text{C}(\text{R}_{24}\text{R}_{25})\text{NR}_{26}\text{R}_{27}$, wherein R , R' , R_{10} , R_{11} , R_{14} , R_{16} , R_{24} , R_{25} , R_{26} , R_{27} are as defined in claim 14.

20. The use of claim 14 wherein the compound is selected from the group consisting of
3-(3,5-Dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 226)
4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid
ethyl ester (Compound 01)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-hydroxy-ethyl)-amide (Compound 02)

3-(5-hydroxymethyl-3-methyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 03)

1-[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrol-2-ylmethyl]-pyrrolidinium; chloride (Compound 04)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (Compound 05)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 06)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-methoxy-ethyl)-amide (Compound 07)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [3-(1-formyl-piperidin-4-yl)-propyl]-amide (Compound 08)

4-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-butyric acid methyl ester (Compound 09)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (6-hydroxy-hexyl)-amide (Compound 10)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid cyclohexylmethyl-amide (Compound 11)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (4-hydroxy-butyl)-amide (Compound 12)

6-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-hexanoic acid ethyl ester (Compound 13)

4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide (Compound 14)

- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [2-(1H-indol-3-yl)-ethyl]-amide (Compound 15)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (3-phenyl-propyl)-amide (Compound 16)
- 5 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (4-phenyl-butyl)-amide (Compound 17)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (5-hydroxy-pentyl)-amide (Compound 18)
- 10 4-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-butyric acid ethyl ester (Compound 19)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [1-(4-chloro-phenyl)-cyclopropylmethyl]-amide (Compound 20)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid benzyl ester (Compound 21)
- 15 3-(4-bromo-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 22)
- 3-(4-chloro-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 23)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-(4-methoxy-benzyl)-1,3-dihydro-indol-2-one (Compound 41)
- 20 3-(3,5-Dimethyl-1H-pyrrol-2-ylmethylene)-1-methyl-1,3-dihydro-indol-2-one (Compound 42)
- acetic acid 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-ylmethyl ester (Compound 43)
- 25 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 45)
- 3-(4-bromo-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 46)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-methoxy-1,3-dihydro-indol-2-one (Compound 49)
- 30 acetic acid 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-yl ester (Compound 51)
- 2-{3-[3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-yloxy]-propyl}-isoindole-1,3-dione (Compound 52)
- 35 2,4-Dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 227)

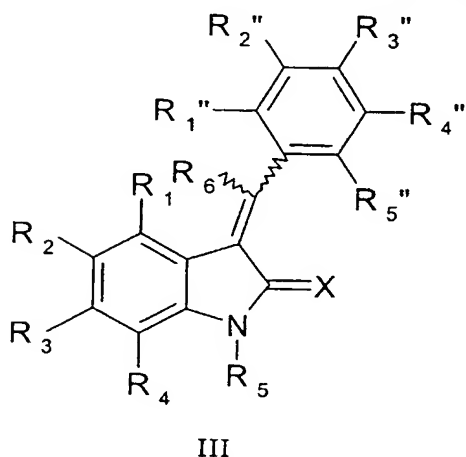
- 5-(5-Fluoro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 228)
(3,5-dimethyl-1H-pyrrol-2-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid (Compound 229)
- 5 3-[2,4-Dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrol-3-yl]-propionic acid (Compound 230)
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-4-iodo-1,3-dihydro-indol-2-one (Compound 231)
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-methoxy-1,3-dihydro-indol-2-one (Compound 232)
- 10 5-chloro-3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 233)
3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 234)
3-[5-(4-chloro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2,4-dimethyl-1H-pyrrol-3-yl]-propionic acid (Compound 235)
- 15 4-chloro-3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 236)
4-chloro-3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 237)
- 20 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-1H-indole-4-carboxylic acid (Compound 238)
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-pyridin-3-yl-1,3-dihydro-indol-2-one (Compound 239)
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-pyridin-3-yl-1,3-dihydro-indol-2-one; methanesulfonic acid (Compound 240)
- 25 5-pyridin-3-yl-3-(1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 241)
5-pyridin-3-yl-3-(1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one; methanesulfonic acid (Compound 242)
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-hydroxy-1,3-dihydro-indol-2-one (Compound 243)
- 30 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-fluoro-1,3-dihydro-indol-2-one (Compound 244)
3-(1-methyl-1H-indol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 245)
2,4-dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-3-carboxylic acid ethyl ester (Compound 246)
- 35 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid pyridin-4-ylmethyl ester (Compound 263)

(3,5-dimethyl-1H-pyrrol-2-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid benzyl ester (Compound 264)

3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-pyrrolidin-1-ylmethyl-1,3-dihydro-indol-2-one (Compound 266)

- 5 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-(4-methyl-piperazin-1-ylmethyl)-1,3-dihydro-indol-2-one (Compound 267) and
3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-piperidin-1-ylmethyl-1,3-dihydro-indol-2-one (Compound 268)

- 10 21. The use of claim 1, wherein the compound is a compound of formula III



wherein R₁, R₂, R₃, R₄, R₅, R₆ and X are as indicated in claim 1, and

- 15 R_1'' , R_2'' , R_3'' , R_4'' and R_5'' are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, $-OR_{10}$, $-C(O)R_{10}$, $-C(O)OR_{10}$, $OC(O)R_{10}$, $-NR_{10}R_{11}$, $-C(O)NR_{10}R_{11}$, $-NHC(O)R_{10}$, $-SR_{10}$, $-S(O)R_{10}$, $-S(O)_2R_{10}$, $-S(O)_2NR_{10}R_{11}$ and $-S(O)OR_{10}$, wherein R_{10} and R_{11} are the same or different
20 and independently selected from the group consisting of hydrogen, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{10} and R_{11} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and
25 heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido,

halogen, $-OR_{12}$, $-C(O)R_{12}$, $-C(O)OR_{12}$, $-OC(O)R_{12}$, $-NR_{12}R_{13}$, $-C(O)NR_{12}R_{13}$, $-NHC(O)R_{12}$, $-SR_{12}$, $-S(O)R_{12}$, $-S(O)_2R_{12}$, $-S(O)_2NR_{12}R_{13}$ and $-S(O)OR_{12}$, wherein R_{12} and R_{13} are the same or different and independently selected from the group consisting of hydrogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{12} and R_{13} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} alkylthio, C_{1-4} alkylamino, C_{1-4} alkoxycarbonyl, carboxy, $-CONH_2$, $S(O)NH_2$, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} alkylthio, C_{1-4} alkylamino, C_{1-4} alkoxycarbonyl, carboxy, $-CONH_2$ or $-S(O)NH_2$.

22. The use of claim 21 wherein, in the compound of formula III, R_2 , R_3 , R_4 , R_5 , R_6 and X are as indicated in claim 2, and R_1'' , R_2'' , R_3'' , R_4'' and R_5'' are the same or different and independently selected from the group consisting of with C_{1-10} alkyl, C_{1-10} alkoxy, aryl, heteroaryl, aryloxy, C_{1-10} alkylaryl, C_{1-10} alkylaryloxy, halogen, trihalomethyl, a sugar residue, $S(O)R_{18}$, $S(O)_2R_{18}$, $S(O)_2NR_{18}R_{19}$, $S(O)_3R_{18}$, SR_{18} , NO_2 , $NR_{18}R_{19}$, OR_{18} , CN , CH_2OH , $C(O)R_{18}$, $C(O)OR_{18}$, $OC(O)R_{18}$, $NHC(O)R_{18}$, $(CH_2)_nC(O)_2R_{18}$ and $C(O)NR_{18}R_{19}$, wherein R_{18} is hydrogen, C_{1-6} alkyl, heteroaryl or aryl, said C_{1-6} alkyl, heteroaryl or aryl being optionally substituted with hydroxy or $NR_{26}R_{27}$, wherein R_{26} and R_{27} are independently hydrogen or C_{1-6} alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R_{19} is hydrogen, C_{1-6} alkyl or aryl, and n is 0-3.

23. The use of claim 22 wherein, in the compound of formula III, R_2'' and R_5'' are the same or different and independently are C_{1-6} alkyl, in particular methyl, or C_{1-6} alkoxy, in particular methoxy, or halogen, in particular chloro or bromo.

24. The use of claim 21 wherein, in the compound of formula III, R_5 is hydrogen, hydroxy, $C(O)R_{14}$ or $C(O)OR_{14}$, wherein R_{14} is as defined in claim 1.

25. The use of claim 21, wherein the compound is selected from the group consisting of 3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 110)

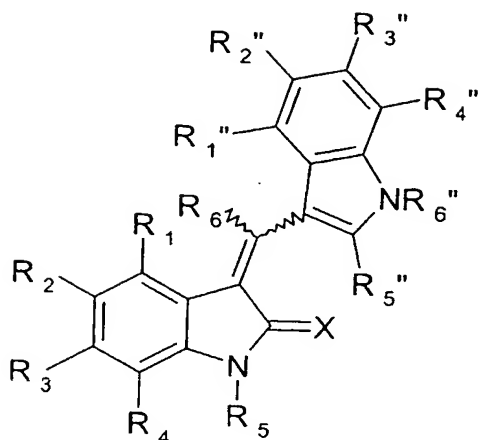
- 3-(5-dimethylaminomethyl-2-methoxy-benzylidene)-1,3-dihydro-indol-2-one
(Compound 32)
- 3-{2-[(2-dimethylamino-ethyl)-methyl-amino]-5-methoxy-benzylidene}-1,3-dihydro-indol-2-one (Compound 33)
- 5 3-{4-[(2-dimethylamino-ethyl)-methyl-amino]-3',5'-dimethyl-biphenyl-3-ylmethylene}-1,3-dihydro-indol-2-one (Compound 34)
- 3-(2-dimethylaminomethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 35)
- 3-[2-(2-diethylamino-ethoxy)-5-methoxy-benzylidene]-1,3-dihydro-indol-2-one
(Compound 36)
- 10 3-[2-(2-diethylamino-ethoxy)-5-methoxy-benzylidene]-1,3-dihydro-indol-2-one;
hydrochloride (Compound 37)
- 3-[5-methoxy-2-(2-morpholin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one
(Compound 38)
- 15 3-[5-methoxy-2-(2-piperidin-1-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one
(Compound 39)
- 1-acetyl-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 44)
- 3-(2,5-dimethoxy-benzylidene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 48)
- 3-(2,5-dimethoxy-benzylidene)-1-methoxy-1,3-dihydro-indol-2-one (Compound 50)
- 3-(phenyl-4-tolyl-methylene)-1,3-dihydro-indol-2-one (Compound 53)
- 20 3-[bis-(4-methoxy-phenyl)-methylene]-1,3-dihydro-indol-2-one (Compound 54)
- 3-[1-(2,5-dimethoxy-phenyl)-ethylidene]-1,3-dihydro-indol-2-one (Compound 55)
- 3-(4-hydroxy-3,5-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 95)
- 3-(3,5-di-tert-butyl-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 96)
- 3-(4-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 97)
- 25 3-(2-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 98)
- 3-(2,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 99)
- 3-(2,6-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 100)
- 3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 101)
- 3-(4-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 102)
- 30 3-(2,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 103)
- 3-(2,5-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 104)
- 3-(2,6-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 105)
- 3-benzylidene-1,3-dihydro-indol-2-one (Compound 106)
- 3-(4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 107)
- 35 3-(2,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 108)
- 3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 109)
- 3-(3,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 111)

- 3-naphtalen-2-ylmethylene-1,3-dihydro-indol-2-one (Compound 112)
3-naphtalen-1-ylmethylene-1,3-dihydro-indol-2-one (Compound 113)
3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 114)
3-(3-nitro-benzylidene)-1,3-dihydro-indol-2-one (Compound 115)
5 3-(2-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 116)
3-(3-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 117)
3-(3-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 118)
3-(4-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 119)
3-anthracen-9-ylmethylene-1,3-dihydro-indol-2-one (Compound 120)
10 3-(5-bromo-2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 121)
3-(2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 122)
5-chloro-3-(4-isopropyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 123)
5-chloro-3-(4-dimethylamino-benzylidene)-1,3-dihydro-indol-2-one (Compound 124)
5-chloro-3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 125)
15 5-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 126)
5-Chloro-3-(2-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 127)
5-chloro-3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 128)
5-Chloro-3-(2,6-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 129)
5-Chloro-3-(2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 130)
20 5-chloro-3-(4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 131)
5-chloro-3-(4-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 132)
5-chloro-3-naphtalen-1-ylmethylene-1,3-dihydro-indol-2-one (Compound 133)
5-chloro-3-(4-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 134)
5-chloro-3-(4-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 135)
25 3-anthracen-9-ylmethylene-5-chloro-1,3-dihydro-indol-2-one (Compound 136)
5-chloro-3-naphtalen-2-ylmethylene-1,3-dihydro-indol-2-one (Compound 137)
5-chloro-3-(2,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 138)
5-chloro-3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 139)
5-chloro-3-(3,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 140)
30 5-Chloro-3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 141)
5-chloro-3-(3,5-di-tert-butyl-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one
(Compound 142)
5-chloro-3-(3,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 143)
3-benzylidene-5-Chloro-1,3-dihydro-indol-2-one (Compound 144)
35 5-chloro-3-(3-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 145)
5-chloro-3-(2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 146)
5-chloro-3-(2-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 147)

- 3-(3,5-dibromo-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 148)
3-(3,4-difluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 149)
3-(2-hydroxy-naphtalen-1-ylmethylene)-1,3-dihydro-indol-2-one (Compound 150)
3-(4-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 151)
5 3-(3,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 152)
3-(3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 153)
3-(2-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 154)
3-(3-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 155)
3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 156)
10 3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 157)
3-(3-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 158)
3-(4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 159)
3-(3-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 160)
3-(2,4-dihydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 161)
15 5-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 162)
3-(3,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 163)
3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 164)
3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 165)
3-(2-methoxy-naphtalen-1-ylmethylene)-1,3-dihydro-indol-2-one (Compound 166)
20 3-(2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 167)
3-(4-hydroxy-3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 168)
3-(3-hydroxy-4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 169)
5-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 170)
6-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 171)
25 7-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 172)
3-(2,5-dimethoxy-benzylidene)-6-fluoro-1,3-dihydro-indol-2-one (Compound 173)
3-(2,5-dimethoxy-benzylidene)-5-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 174)
5-amino-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 175)
30 6-chloro-5-(2-chloro-acetyl)-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one
(Compound 176)
3-(2,5-dimethoxy-benzylidene)-5-hydroxy-1,3-dihydro-indol-2-one (Compound 177)
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-5-carboxylic acid methyl
ester (Compound 178)
35 3-(9-ethyl-9H-carbazol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 179)
3-(2-hydroxy-3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 180)
3-(2,5-dimethoxy-benzylidene)-4,5-difluoro-1,3-dihydro-indol-2-one (Compound 181)

- 3-(3,5-dichloro-2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 182)
3-(2,5-diethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 183)
3-(2,5-dihydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 184)
3-(2,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 185)
5 3-(9-methyl-9H-carbazol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 186)
3-(2-hydroxy-5-trifluoromethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 187)
3-(1H-indol-5-ylmethylene)-1,3-dihydro-indol-2-one (Compound 188)
3-(1H-indol-4-ylmethylene)-1,3-dihydro-indol-2-one (Compound 189)
10 3-(1H-indol-7-ylmethylene)-1,3-dihydro-indol-2-one (Compound 190)
3-(1,4-dimethyl-9H-carbazol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 191)
3-(2-benzyloxy-4,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 192)
3-(2,5-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 193)
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-7-carbonitrile (Compound 194)
15 3-(2,5-dimethoxy-benzylidene)-6-methanesulfonyl-1,3-dihydro-indol-2-one (Compound 195)
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-5-carbonitrile (Compound 196)
20 3-(2,5-dimethoxy-benzylidene)-6-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 197)
3-(2,5-dimethoxy-benzylidene)-7-fluoro-1,3-dihydro-indol-2-one (Compound 198)
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-6-carbonitrile (Compound 199)
25 6-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 200)
3-(2,5-dibromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 201)
3-(5-bromo-2-ethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 202)
3-(5-bromo-2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 203)
3-(2-fluoro-5-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 204)
30 3-(2,5-difluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 205)
3-(2-chloro-5-nitro-benzylidene)-1,3-dihydro-indol-2-one (Compound 206)
3-(2,5-bis-trifluoromethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 207)
3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 208)
3-(2-hydroxy-5-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 209)
35 3-(1H-indol-6-ylmethylene)-1,3-dihydro-indol-2-one (Compound 210)
3-(2,5-dimethoxy-benzylidene)-5-fluoro-1,3-dihydro-indol-2-one (Compound 211)
3-[4-(quinolin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 212)

- 3-[4-(naphthalen-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 213)
3-[3,5-dichloro-2-(quinolin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one
(Compound 214)
2-[4-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenoxy]-propionic acid (Compound
5 215)
2-benzyl-3-butylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-
benzenesulfonamide (Compound 216)
2-benzyl-3-benzylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-
benzenesulfonamide (Compound 217)
10 3-[(furan-2-ylmethyl)-amino]-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2-phenoxy-
benzenesulfonamide (Compound 218)
3-methylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2-phenoxy-
benzenesulfonamide (Compound 219)
2-benzyl-3-ethoxy-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-benzenesulfonamide
15 (Compound 220)
[2-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenoxy]-acetic acid (Compound 221)
3-[4-(6-methyl-pyridin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound
222)
4-[4-(5-chloro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenyl]-piperazine-1-
20 carbaldehyde (Compound 223)
5-chloro-3-(4-isopropyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 224)
4-[4-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenyl]-piperazine-1-carbaldehyde
(Compound 225)
3-[5-methoxy-2-(2-morpholin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one;
25 hydrochloride (Compound 258)
3-[5-methoxy-2-(2-piperidin-1-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one;
hydrochloride (Compound 259)
3-(2,5-dimethoxy-benzylidene)-5,7-difluoro-1,3-dihydro-indol-2-one (Compound 260)
3-[4-(1-quinolin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 261)
30 3-[4-(pyridin-4-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 262) and
5-amino-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one; methanesulfonic acid
(Compound 265)
26. The use of claim 1 wherein the compound is a compound of general formula IV



IV

wherein R_1 , R_2 , R_3 , R_4 , R_5 , R_6 and X are as indicated in claim 1,

R_1'' , R_2'' , R_3'' , R_4'' and R_5'' are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, $-OR_{10}$, $-C(O)R_{10}$, $-C(O)OR_{10}$, $OC(O)R_{10}$, $-NR_{10}R_{11}$, $-C(O)NR_{10}R_{11}$, $-NHC(O)R_{10}$, $-SR_{10}$, $-S(O)R_{10}$, $-S(O)_2R_{10}$, $-S(O)_2NR_{10}R_{11}$ and $-S(O)OR_{10}$, wherein R_{10} and R_{11} are the same or different and independently selected from the group consisting of hydrogen, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{10} and R_{11} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, $-OR_{12}$, $-C(O)R_{12}$, $-C(O)OR_{12}$, $-OC(O)R_{12}$, $-NR_{12}R_{13}$, $-C(O)NR_{12}R_{13}$, $-NHC(O)R_{12}$, $-SR_{12}$, $-S(O)R_{12}$, $-S(O)_2R_{12}$, $-S(O)_2NR_{12}R_{13}$ and $-S(O)OR_{12}$, wherein R_{12} and R_{13} are the same or different and independently selected from the group consisting of hydrogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{12} and R_{13} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C_{1-4} -alkyl, C_{1-4} -alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} -alkylthio, C_{1-4} -alkylamino, C_{1-4} -alkoxycarbonyl, carboxy, -

CONH₂, S(O)NH₂, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄alkoxycarbonyl, carboxy, -CONH₂ or -S(O)NH₂; and
 5 R₆" is hydrogen, heterocyclyl, heteroaryl, -C(O)R₂₃, -S(O)₂R₂₃, -C(O)OR₂₃ or C₁₋₆alkyl optionally substituted with heterocyclyl, heteroaryl or -C(O)OR₂₃, wherein R₂₃ is hydrogen, C₁₋₆alkyl, aryl, heteroaryl or heterocyclyl.

27. The use of claim 26 wherein, in the compound of formula IV, R₂, R₃, R₄, R₅, R₆ and
 10 X are as indicated in claim 2, and R₁", R₂", R₃", R₄" and R₅" are the same or different and independently selected from the group consisting of with C₁₋₁₀alkyl, C₁₋₁₀alkoxy, aryl, heteroaryl, aryloxy, C₁₋₁₀alkylaryl, C₁₋₁₀alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R₁₈, S(O)₂R₁₈, S(O)₂NR₁₈R₁₉, S(O)₃R₁₈, SR₁₈, NO₂, NR₁₈R₁₉, OR₁₈, CN, CH₂OH, C(O)R₁₈, C(O)OR₁₈, OC(O)R₁₈, NHC(O)R₁₈, (CH₂)_nC(O)₂R₁₈ and C(O)NR₁₈R₁₉,
 15 wherein R₁₈ is hydrogen, C₁₋₆alkyl, heteroaryl or aryl, said C₁₋₆ alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR₂₆R₂₇, wherein R₂₆ and R₂₇ are independently hydrogen or C₁₋₆ alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R₁₉ is hydrogen, C₁₋₆alkyl or aryl, and n is 0-3; and R₆" is hydrogen, C₁₋₆ alkyl, heteroaryl, heteroaryl-C₁₋₆ alkyl, C(O)R₁₈,
 20 C(O)OR₁₈ or S(O)₂R₁₈, wherein R₁₈ is as indicated above.

28. The use of claim 26 wherein, in the compound of formula IV, R₅" is hydrogen or C₁₋₆ alkyl.

25 29. The use of claim 26 wherein, in the compound of formula IV, R₆" is hydrogen or C₁₋₆ alkyl.

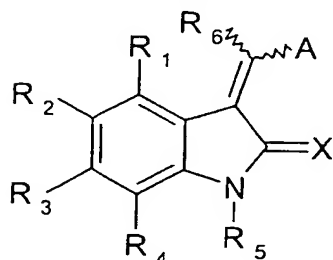
30 30. The use of claim 26 wherein, in the compound of formula IV, R₅ is hydrogen, hydroxy, C(O)R₁₄ or C(O)OR₁₄, wherein R₁₄ is as defined in claim 1.

31. The use of claim 26 wherein the compound is selected from the group consisting of
 3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 57)
 [3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid methyl ester
 (Compound 24)
 35 [3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid ethyl ester
 (Compound 25)
 [3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid (Compound 26)

- 3-[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-propionic acid ethyl ester (Compound 27)
- 3-[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-propionic acid (Compound 28)
- 5 3-[1-(2-chloro-thiazol-5-ylmethyl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one (Compound 29)
- 3-(1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 30)
- 3-(1-propyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 31)
- 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-indole-1-carboxylic acid *tert*-butyl ester (Compound 40)
- 10 1-hydroxy-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 47)
- (1-Methyl-1H-indol-3-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid (Compound 56)
- 3-(2-phenyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 58)
- 15 3-(1-methyl-2-phenyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 59)
- 3-[2-(4-chloro-phenyl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one (Compound 60)
- 3-(2-naphthalen-2-yl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 61)
- 20 5-chloro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 62)
- 3-(5-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 63)
- 5,7-difluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 64)
- 5-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 65)
- 6-fluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 66)
- 25 6-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 67)
- 5-hydroxy-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 68)
- 3-(4,5,6,7-tetrafluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 69)
- 3-(6-fluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 70)
- 30 3-[2-(4-chloro-phenyl)-5-nitro-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one (Compound 71)
- 7-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 72)
- 3-(6-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 73)
- 3-(7-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 74)
- 35 3-(2-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 75)
- 3-(5-fluoro-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 76)
- 3-(5-fluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 77)

- 3-(5-methoxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 78)
 3-(5-benzyloxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 79)
 3-(6-methoxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 80)
 3-(5-methoxy-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound
 5 81)
 3-(6-methoxy-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound
 82)
 3-(4-benzyloxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 83)
 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-6-carbonitrile (Compound 84)
 10 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-7-carbonitrile (Compound 85)
 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-5-carbonitrile (Compound 86)
 7-fluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 87)
 3-(1H-indol-3-ylmethylene)-6-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 88)
 3-(1H-indol-3-ylmethylene)-6-methanesulfonyl-1,3-dihydro-indol-2-one (Compound
 15 89)
 3-(1H-indol-3-ylmethylene)-5-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 90)
 3-(1H-indol-3-ylmethylene)-5,6-dimethoxy-1,3-dihydro-indol-2-one (Compound 91)
 4,5-difluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 92)
 3-(1H-indol-3-ylmethylene)-5-methoxy-1,3-dihydro-indol-2-one (Compound 92A)
 20 6-chloro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 93) and
 3-[1-Methyl-2-(4-methyl-piperazin-1-yl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-
 one (Compound 94)

32. A method of preventing, treating or ameliorating multiple sclerosis, or delaying the
 25 onset of or reducing the relapse rate in multiple sclerosis, the method comprising
 administering, to a patient in need thereof, a pharmacologically effective amount of a
 compound of general formula I



I

30 wherein

R_1 , R_2 , R_3 and R_4 are the same or different and independently selected from the group
 consisting of hydrogen, halogen, trihalomethyl, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -

- alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR₁₀, -C(O)R₁₀, -C(O)OR₁₀, OC(O)R₁₀, -NR₁₀R₁₁, -C(O)NR₁₀R₁₁, -NHC(O)R₁₀, -SR₁₀, -S(O)R₁₀, -S(O)₂R₁₀, -S(O)₂NR₁₀R₁₁ and -S(O)OR₁₀, wherein R₁₀ and R₁₁ are the same or different and independently selected from the group consisting of hydrogen, C₁₋₁₂-alkyl, C₂₋₁₂-alkenyl, C₄₋₁₂-alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R₁₀ and R₁₁, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C₁₋₁₂-alkyl, C₂₋₁₂-alkenyl, C₄₋₁₂-alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR₁₂, -C(O)R₁₂, -C(O)OR₁₂, -OC(O)R₁₂, -NR₁₂R₁₃, -C(O)NR₁₂R₁₃, -NHC(O)R₁₂, -SR₁₂, -S(O)R₁₂, -S(O)₂R₁₂, -S(O)₂NR₁₂R₁₃ and -S(O)OR₁₂, wherein R₁₂ and R₁₃ are the same or different and independently selected from the group consisting of hydrogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R₁₂ and R₁₃, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄ alkoxycarbonyl, carboxy, -CONH₂, S(O)NH₂, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄ alkoxycarbonyl, carboxy, -CONH₂ or -S(O)NH₂;
- X is O or S;
- R₅ is hydrogen, hydroxy, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, C₁₋₆alkoxy, carbonyl, carboxy, amido, thioamido, guanyl, guanidiny, ureidyl, sulfonyl, trihalomethanesulfonyl, -C(O)OR₁₄, -C(O)R₁₄, wherein R₁₄ is hydrogen, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, cycloalkyl or aryl;
- R₆ is hydrogen, C₁₋₆alkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, halogen, -OR₇, -C(O)R₇, -C(O)OR₇, -NR₇R₈, S(O)₂NR₇R₈, wherein R₇ and R₈ are independently hydrogen, C₁₋₆alkyl, aryl or heterocyclyl, said C₁₋₆alkyl or heterocyclyl being optionally substituted by heterocyclyl, -OR₇, -C(O)R₇ or C(O)OR₇, the zigzag line indicating that the group denoted R₆ is present as the E- or Z-isomer;

A is phenyl or a monocyclic or bicyclic heteroaryl ring, optionally substituted at one or more positions with hydrogen, halogen, trihalomethyl, C₁₋₁₂-alkyl, C₂₋₁₂-alkenyl, C₄₋₁₂-alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR₁₀, -C(O)R₁₀, -C(O)OR₁₀, OC(O)R₁₀, -NR₁₀R₁₁, -C(O)NR₁₀R₁₁, -NHC(O)R₁₀, -SR₁₀, -S(O)R₁₀, -S(O)₂R₁₀, -S(O)₂NR₁₀R₁₁ and -S(O)OR₁₀, wherein R₁₀ and R₁₁ are the same or different and independently selected from the group consisting of hydrogen, C₁₋₁₂-alkyl, C₂₋₁₂-alkenyl, C₄₋₁₂-alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R₁₀ and R₁₁, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C₁₋₁₂-alkyl, C₂₋₁₂-alkenyl, C₄₋₁₂-alkadienyl, C₆₋₁₂-alkatrienyl, C₂₋₁₂-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, -OR₁₂, -C(O)R₁₂, -C(O)OR₁₂, -OC(O)R₁₂, -NR₁₂R₁₃, -C(O)NR₁₂R₁₃, -NHC(O)R₁₂, -SR₁₂, -S(O)R₁₂, -S(O)₂R₁₂, -S(O)₂NR₁₂R₁₃ and -S(O)OR₁₂, wherein R₁₂ and R₁₃ are the same or different and independently selected from the group consisting of hydrogen, C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R₁₂ and R₁₃, together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C₁₋₆-alkyl, C₂₋₆-alkenyl, C₄₋₆-alkadienyl, C₂₋₆-alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄ alkoxycarbonyl, carboxy, -CONH₂, S(O)NH₂, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄ alkoxycarbonyl, carboxy, -CONH₂ or -S(O)NH₂; the zigzag line indicating that the group denoted A is present as the E- or Z-isomer; or pharmaceutically acceptable salts thereof.

33. The method of claim 32, wherein, in the compound of formula I, X is O or S;

35 R₁, R₂, R₃ and R₄ are the same or different and independently selected from the group consisting of hydrogen, C₁₋₁₀alkyl, C₁₋₁₀alkoxy, aryl, heteroaryl, aryloxy, C₁₋₁₀alkylaryl, C₁₋₁₀alkylaryloxy, halogen, trihalomethyl, S(O)R₁₈, S(O)₂R₁₈, S(O)₂NR₁₈R₁₉, S(O)₃R₁₈,

SR₁₈, NO₂, NR₁₈R₁₉, OH, CN, C(O)R₁₈, C(O)OR₁₈, OC(O)R₁₈, NHC(O)R₁₈, (CH₂)_nC(O)₂R₁₈ and C(O)NR₁₈R₁₉, wherein R₁₈ is hydrogen, C₁₋₆alkyl, heteroaryl or aryl, said C₁₋₆ alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR₂₆R₂₇, wherein R₂₆ and R₂₇ are independently hydrogen or C₁₋₆ alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R₁₉ is hydrogen, C₁₋₆alkyl or aryl, and n is 0-3;

A is phenyl or a monocyclic or bicyclic heteroaryl ring selected from the group consisting of pyrrole, pyrazole, imidazole, 1,2,3-triazole, 1,2,4-triazole, oxazole, isoxazole, thiazole, isothiazole, 2-sulfonylfuran, 4-alkylfuran, 1,2,3-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3,4-oxatriazole, 1,2,3,5-oxatriazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,3,4-thiatriazole, 1,2,3,5-thiatriazole, tetrazole and indole, optionally substituted at one or more positions with C₁₋₁₀alkyl, C₁₋₁₀alkoxy, aryl, heteroaryl, aryloxy, C₁₋₁₀ alkylaryl, C₁₋₁₀ alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R₁₈, S(O)₂R₁₈, S(O)₂NR₁₈R₁₉, S(O)₃R₁₈, SR₁₈, NO₂, NR₁₈R₁₉, OR₁₈, CN, CH₂OH, C(O)R₁₈, C(O)OR₁₈, OC(O)R₁₈, NHC(O)R₁₈, (CH₂)_nC(O)₂R₁₈ and C(O)NR₁₈R₁₉, wherein R₁₈, R₁₉ and n are as indicated above;

R₅ is hydrogen or C₁₋₆alkyl; and

R₆ is hydrogen.

34. The method of claim 33 wherein, in the compound of formula I, R₅ is hydrogen.

35. The method of claim 33 wherein, in the compound of formula I, X is oxygen.

36. The method of claim 33 wherein, in the compound of formula I, R₆ is hydrogen or COOH.

37. The method of claim 33 wherein, in the compound of formula I, R₁, R₂, R₃ and R₄ are the same or different and independently selected from hydrogen and C₁₋₆alkyl.

38. The method of claim 33 wherein, in the compound of formula I, A is pyrrole, phenyl or indole, said pyrrole, phenyl or indole being optionally substituted at one or more positions with C₁₋₁₀alkyl, C₁₋₁₀alkoxy, aryl, heteroaryl, aryloxy, C₁₋₁₀alkylaryl, C₁₋₁₀alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R₁₈, S(O)₂R₁₈, S(O)₂NR₁₈R₁₉, S(O)₃R₁₈, SR₁₈, NO₂, NR₁₈R₁₉, OR₁₈, CN, CH₂OH, C(O)R₁₈, C(O)OR₁₈, OC(O)R₁₈, NHC(O)R₁₈, (CH₂)_nC(O)₂R₁₈ and C(O)NR₁₈R₁₉, wherein R₁₈, R₁₉ and n are as indicated in claim 33.

39. The method of claim 38 wherein, in the compound of formula I, A is pyrrole substituted at position 3 and 5 with C₁₋₆alkyl, or at position 3 with C₁₋₆alkyl and at position 5 with CH₂OH, COOH or a sugar residue, or or at position 3 and 5 with C₁₋₆alkyl and at position 4 with halogen, or at position 5 with C(O)O-C₁₋₆alkyl, and at position 3 with C₁₋₆alkyl.

40. The method of claim 38 wherein, in the compound of formula I, A is phenyl substituted at position 2 and 5 with C₁₋₆ alkyl, C₁₋₆alkoxy, halogen, C₁₋₆ alkyl-NR₂₆R₂₇, NH-C₁₋₆ alkyl-NR₂₆R₂₇ or O-C₁₋₆ alkyl-NR₂₆R₂₇, wherein R₂₆ and R₂₇ are independently hydrogen or C₁₋₆ alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring.

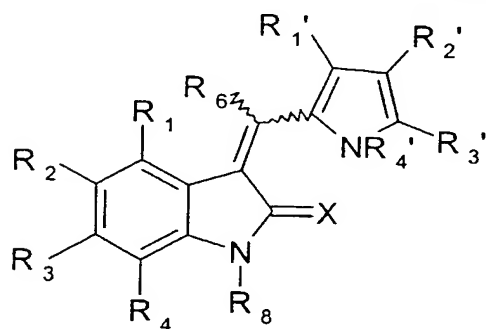
41. The method of claim 38 wherein, in the compound of formula I, A is indole.

42. The the method of claim 38 wherein the compound is 3-(3,5-dimethyl-1H-pyrrol-2-yl-methylene)-1,3-dihydro-indol-2-one.

43. The method of claim 38 wherein the compound is 3-(2,5-dimethoxy-benzylidene)-1,3-dihydroindol-2-one.

44. The method of claim 38 wherein the compound is 3-(1H-indol-3-ylmethylene)-1,3-dihydroindol-2-one.

45. The method of claim 32 wherein the compound is a compound of general formula II



II

wherein R₁, R₂, R₃, R₄, R₅, R₆ and X are as indicated in claim 1,

R₈ and R₄' are independently hydrogen, hydroxy, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, C₁₋₆alkoxy, carbonyl, carboxy, amido, thioamido, guanyl, guanidiny, ureidyl, sulfonyl, trihalomethanesulfonyl, -PO(OR)(OR'), wherein R and R' are independently selected from hydrogen or C₁₋₆ alkyl, , -OR₁₀, -

$C(O)R_{10}$, $-C(O)OR_{10}$, $OC(O)R_{10}$, $OC(O)OR_{10}$, $-NR_{10}R_{11}$, $-C(O)NR_{10}R_{11}$, $-OC(O)NR_{10}R_{11}$, $-OC(O)NR_{10}R_{11}$, $-NHC(O)R_{10}$, $-SR_{10}$, $-S(O)R_{10}$, $-S(O)_2R_{10}$, $-S(O)_2NR_{10}R_{11}$, $-S(O)OR_{10}$ and CH_2 -aryl- OR_{10} , wherein R_{10} and R_{11} are the same or different and independently selected from the group consisting of hydrogen, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{10} and R_{11} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, $-OR_{12}$, $-C(O)R_{12}$, $-C(O)OR_{12}$, $-OC(O)R_{12}$, $OC(O)OR_{12}$, $-NR_{12}R_{13}$, $-C(O)NR_{12}R_{13}$, $-OC(O)NR_{12}R_{13}$, $-NHC(O)R_{12}$, $-SR_{12}$, $-S(O)R_{12}$, $-S(O)_2R_{12}$, $-S(O)_2NR_{12}R_{13}$ and $-S(O)OR_{12}$, wherein R_{12} and R_{13} are the same or different and independently selected from the group consisting of hydrogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{12} and R_{13} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} alkylthio, C_{1-4} alkylamino, C_{1-4} alkoxycarbonyl, carboxy, $-CONH_2$, $-S(O)NH_2$, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} alkylthio, C_{1-4} alkylamino, C_{1-4} alkoxycarbonyl, carboxy, $-CONH_2$ or $-S(O)NH_2$; $-C(R_{24}R_{25})-OR_{16}$ or $-OC(O)R_{16}$, wherein R_{16} is hydrogen, C_{1-6} alkyl, aralkyl, acyl or $-PO(OR)(OR')$, $-C(R_{24}R_{25})-NR_{26}R_{27}$, wherein R_{24} is hydrogen, C_{1-6} alkyl or aryl, R_{25} is hydrogen, and R_{26} and R_{27} are independently hydrogen or C_{1-6} alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heteroaryl ring optionally substituted with hydrogen, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} alkylthio, C_{1-4} alkylamino, C_{1-4} alkoxycarbonyl, carboxy, $-CONH_2$ or $-S(O)NH_2$; $-NR_{20}R_{21}$, $-O(CH_2)_mNR_{20}R_{21}$, $-N(CH_2)_mNR_{20}R_{21}$, $-O(CH_2)_mC(O)R_{22}$, $-N(CH_2)_mC(O)R_{22}$, wherein m is 0, 1, 2 or 3, R_{20} and R_{21} are the same or different and independently selected from the group consisting of hydrogen, C_{1-6} alkyl, cycloalkyl, aryl, carbonyl, acetyl, trihalomethylcarbonyl, carboxy, sulfonyl or trihalomethanesulfonyl, or R_{20} and R_{21} together with the nitrogen atom to which they

are attached form a heterocyclic or heteroaryl ring, and R_{22} is hydroxy, C_{1-6} alkoxy, aryloxy, amino, hydroxylamino, carboxy or $-NR_{20}R_{21}$, wherein R_{20} and R_{21} are as indicated above; and

R_1' , R_2' and R_3' are the same or different and independently selected from the group

- 5 consisting of hydrogen, halogen, trihalomethyl, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, $-OR_{10}$, $-C(O)R_{10}$, $-C(O)OR_{10}$, $OC(O)R_{10}$, $-NR_{10}R_{11}$, $-C(O)NR_{10}R_{11}$, $-NHC(O)R_{10}$, $-SR_{10}$, $-S(O)R_{10}$, $-S(O)_2R_{10}$, $-S(O)_2NR_{10}R_{11}$ and $-S(O)OR_{10}$, wherein R_{10} and R_{11} are the same or different
- 10 and independently selected from the group consisting of hydrogen, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{10} and R_{11} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and
- 15 heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, $-OR_{12}$, $-C(O)R_{12}$, $-C(O)OR_{12}$, $-OC(O)R_{12}$, $-NR_{12}R_{13}$, $-C(O)NR_{12}R_{13}$, $-NHC(O)R_{12}$, $-SR_{12}$, $-S(O)R_{12}$, $-S(O)_2R_{12}$, $-S(O)_2NR_{12}R_{13}$ and $-S(O)OR_{12}$, wherein R_{12} and R_{13} are the same or different and independently selected from the group consisting of hydrogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{12} and R_{13} , together with the nitrogen atom to which they are attached
- 20 form a heterocyclic or heteroaryl ring, each C_{1-16} -alkyl, C_{2-16} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} alkylthio, C_{1-4} alkylamino, C_{1-4} alkoxycarbonyl, carboxy, $-CONH_2$, $S(O)NH_2$, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen,
- 25 hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} alkylthio, C_{1-4} alkylamino, C_{1-4} alkoxycarbonyl, carboxy, $-CONH_2$ or $-S(O)NH_2$.
- 30

46. The method of claim 45 wherein, in the compound of formula II, R_1 , R_2 , R_3 , R_4 , R_5 , R_6 and X are as indicated in claim 33, and R_1' , R_2' and R_3' are the same or different and independently selected from the group consisting of with C_{1-10} alkyl, C_{1-10} alkoxy, aryl, heteroaryl, aryloxy, C_{1-10} alkylaryl, C_{1-10} alkylaryloxy, halogen, trihalomethyl, a sugar

35

residue, $S(O)R_{18}$, $S(O)_2R_{18}$, $S(O)_2NR_{18}R_{19}$, $S(O)_3R_{18}$, SR_{18} , NO_2 , $NR_{18}R_{19}$, OR_{18} , CN , CH_2OH , $C(O)R_{18}$, $C(O)OR_{18}$, $OC(O)R_{18}$, $NHC(O)R_{18}$, $(CH_2)_nC(O)_2R_{18}$ and $C(O)NR_{18}R_{19}$, wherein R_{18} is hydrogen, C_{1-6} alkyl, heteroaryl or aryl, said C_{1-6} alkyl, heteroaryl or aryl being optionally substituted with hydroxy or $NR_{26}R_{27}$, wherein R_{26} and R_{27} are

independently hydrogen or C_{1-6} alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R_{19} is hydrogen, C_{1-6} alkyl or aryl, and n is 0-3.

47. The method of claim 46 wherein, in the compound of formula II, R_1 , R_2 , R_3 and R_4 are the same or different and independently selected from hydrogen, halogen and C_{1-6} alkyl, or R_2 is hydroxy or heteroaryl, such as pyridyl, or a group $C(O)R_{20}$, wherein R_{20} is heteroaryl, such as pyridyl or thienyl, and R_1 , R_3 and R_4 are hydrogen.

48. The method of claim 46 wherein, in the compound of formula II, R_1' , R_2' and R_3' are the same or different and independently selected from hydrogen, halogen, C_{1-6} alkyl, C_{1-6} alkoxy, CH_2OH , $C(O)OR_{18}$ or $C(O)NR_{18}R_{19}$, wherein R_{18} and R_{19} are as defined in claim 46.

49. The method of claim 45 or 46 wherein, in the compound of formula II, R_1' and R_3' are both C_{1-6} alkyl, in particular methyl, and R_2' is hydrogen, or wherein R_1' is C_{1-6} alkyl and R_3' is C_{1-6} alkoxy, CH_2OH , $C(O)OR_{18}$ or $C(O)NR_{18}R_{19}$, wherein R_{18} and R_{19} are as defined in claim 46, or wherein R_1' and R_3' are both C_{1-6} alkyl, in particular methyl, and R_2' is halogen, in particular chloro or bromo, or wherein R_1' is C_{1-6} alkyl and R_3' is $C(O)O-C_{1-6}$ alkyl, or wherein R_1' is C_{1-6} alkyl and R_3' is $C(O)NH-C_{1-6}$ alkyl substituted with hydroxy.

50. The method of claim 45 wherein, in the compound of formula II, R_8 and R_4' are independently hydrogen, hydroxy, $-PO(OR)(OR')$, $-OR_{10}$, $-C(O)OR_{10}$, $-C(O)NR_{10}R_{11}$, $-C(O)R_{14}$, $-C(R_{24}R_{25})OR_{16}$, $-OC(O)R_{16}$ or $-C(R_{24}R_{25})NR_{26}R_{27}$, wherein R , R' , R_{10} , R_{11} , R_{14} , R_{16} , R_{24} , R_{25} , R_{26} , R_{27} are as defined in claim 45.

51. The method of claim 45 wherein the compound is selected from the group consisting of

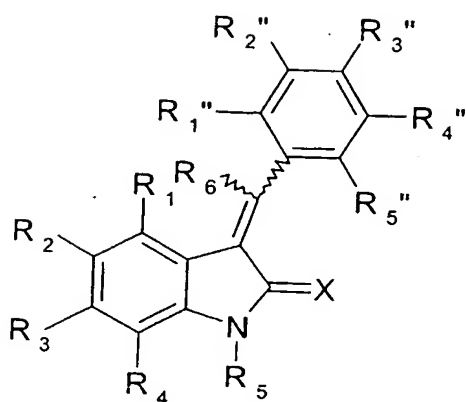
3-(3,5-Dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 226)
4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid ethyl ester (Compound 01)

- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-hydroxy-ethyl)-amide (Compound 02)
- 3-(5-hydroxymethyl-3-methyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 03)
- 5 1-[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrol-2-ylmethyl]-pyrrolidinium; chloride (Compound 04)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (Compound 05)
- 10 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 06)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (2-methoxy-ethyl)-amide (Compound 07)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [3-(1-formyl-piperidin-4-yl)-propyl]-amide (Compound 08)
- 15 4-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-butyric acid methyl ester (Compound 09)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (6-hydroxy-hexyl)-amide (Compound 10)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid
- 20 cyclohexylmethyl-amide (Compound 11)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (4-hydroxy-butyl)-amide (Compound 12)
- 6-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-hexanoic acid ethyl ester (Compound 13)
- 25 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide (Compound 14)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [2-(1H-indol-3-yl)-ethyl]-amide (Compound 15)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (3-phenyl-propyl)-amide (Compound 16)
- 30 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (4-phenyl-butyl)-amide (Compound 17)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid (5-hydroxy-pentyl)-amide (Compound 18)
- 35 4-{[4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carbonyl]-amino}-butyric acid ethyl ester (Compound 19)

- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid [1-(4-chloro-phenyl)-cyclopropylmethyl]-amide (Compound 20)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid benzyl ester (Compound 21)
- 5 3-(4-bromo-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 22)
- 3-(4-chloro-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 23)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-(4-methoxy-benzyl)-1,3-dihydro-indol-2-one (Compound 41)
- 10 3-(3,5-Dimethyl-1H-pyrrol-2-ylmethylene)-1-methyl-1,3-dihydro-indol-2-one (Compound 42)
- acetic acid 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-ylmethyl ester (Compound 43)
- 15 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 45)
- 3-(4-bromo-3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 46)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-methoxy-1,3-dihydro-indol-2-one (Compound 49)
- 20 acetic acid 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-yl ester (Compound 51)
- 2-{3-[3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-indol-1-yloxy]-propyl}-isoindole-1,3-dione (Compound 52)
- 25 2,4-Dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 227)
- 5-(5-Fluoro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylamino-ethyl)-amide (Compound 228)
- (3,5-dimethyl-1H-pyrrol-2-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid (Compound 229)
- 30 3-[2,4-Dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrol-3-yl]-propionic acid (Compound 230)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-4-iodo-1,3-dihydro-indol-2-one (Compound 231)
- 35 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-methoxy-1,3-dihydro-indol-2-one (Compound 232)

- 5-chloro-3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 233)
- 3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 234)
- 3-[5-(4-chloro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2,4-dimethyl-1H-pyrrol-3-yl]-propionic acid (Compound 235)
- 4-chloro-3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 236)
- 4-chloro-3-(3-methoxy-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 237)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-2-oxo-2,3-dihydro-1H-indole-4-carboxylic acid (Compound 238)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-pyridin-3-yl-1,3-dihydro-indol-2-one (Compound 239)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-pyridin-3-yl-1,3-dihydro-indol-2-one; methanesulfonic acid (Compound 240)
- 5-pyridin-3-yl-3-(1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 241)
- 5-pyridin-3-yl-3-(1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one; methanesulfonic acid (Compound 242)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-hydroxy-1,3-dihydro-indol-2-one (Compound 243)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-5-fluoro-1,3-dihydro-indol-2-one (Compound 244)
- 3-(1-methyl-1H-indol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 245)
- 2,4-dimethyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-3-carboxylic acid ethyl ester (Compound 246)
- 4-methyl-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-1H-pyrrole-2-carboxylic acid pyridin-4-ylmethyl ester (Compound 263)
- (3,5-dimethyl-1H-pyrrol-2-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid benzyl ester (Compound 264)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-pyrrolidin-1-ylmethyl-1,3-dihydro-indol-2-one (Compound 266)
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-(4-methyl-piperazin-1-ylmethyl)-1,3-dihydro-indol-2-one (Compound 267) and
- 3-(3,5-dimethyl-1H-pyrrol-2-ylmethylene)-1-piperidin-1-ylmethyl-1,3-dihydro-indol-2-one (Compound 268)

52. The method of claim 32, wherein the compound is a compound of formula III



III

wherein R_1 , R_2 , R_3 , R_4 , R_5 , R_6 and X are as indicated in claim 1, and

5 R_1'' , R_2'' , R_3'' , R_4'' and R_5'' are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, $-OR_{10}$, $-C(O)R_{10}$, $-C(O)OR_{10}$, $OC(O)R_{10}$, $-NR_{10}R_{11}$, $-C(O)NR_{10}R_{11}$, $-NHC(O)R_{10}$, $-SR_{10}$, $-S(O)R_{10}$, $-S(O)_2R_{10}$, $-S(O)_2NR_{10}R_{11}$ and $-S(O)OR_{10}$, wherein R_{10} and R_{11} are the same or different

10 and independently selected from the group consisting of hydrogen, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{10} and R_{11} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and

15 heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, halogen, $-OR_{12}$, $-C(O)R_{12}$, $-C(O)OR_{12}$, $-OC(O)R_{12}$, $-NR_{12}R_{13}$, $-C(O)NR_{12}R_{13}$, $-NHC(O)R_{12}$, $-SR_{12}$, $-S(O)R_{12}$, $-S(O)_2R_{12}$, $-S(O)_2NR_{12}R_{13}$ and $-S(O)OR_{12}$, wherein R_{12} and R_{13} are the

20 same or different and independently selected from the group consisting of hydrogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{12} and R_{13} , together with the nitrogen atom to which they are

25 attached form a heterocyclic or heteroaryl ring, each C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} alkylthio, C_{1-4} alkylamino, C_{1-4} alkoxy carbonyl, carboxy,

-CONH₂, -S(O)NH₂, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C₁₋₄ alkylthio, C₁₋₄ alkylamino, C₁₋₄alkoxycarbonyl, carboxy, -CONH₂ or -S(O)NH₂.

5

53. The method of claim 52 wherein, in the compound of formula III, R₂, R₃, R₄, R₅, R₆ and X are as indicated in claim 31, and R₁"', R₂"', R₃"', R₄"' and R₅"' are the same or different and independently selected from the group consisting of with C₁₋₁₀ alkyl, C₁₋₁₀ alkoxy, aryl, heteroaryl, aryloxy, C₁₋₁₀ alkylaryl, C₁₋₁₀ alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R₁₈, S(O)₂R₁₈, S(O)₂NR₁₈R₁₉, S(O)₃R₁₈, SR₁₈, NO₂, NR₁₈R₁₉, OR₁₈, CN, CH₂OH, C(O)R₁₈, C(O)OR₁₈, OC(O)R₁₈, NHC(O)R₁₈, (CH₂)_nC(O)₂R₁₈ and C(O)NR₁₈R₁₉, wherein R₁₈ is hydrogen, C₁₋₆ alkyl, heteroaryl or aryl, said C₁₋₆ alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR₂₆R₂₇, wherein R₂₆ and R₂₇ are independently hydrogen or C₁₋₆ alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R₁₉ is hydrogen, C₁₋₆ alkyl or aryl, and n is 0-3.

10

15

54. The method of claim 53 wherein, in the compound of formula III, R₂"' and R₅"' are the same or different and independently are C₁₋₆ alkyl, in particular methyl, or C₁₋₆ alkoxy, in particular methoxy, or halogen, in particular chloro or bromo.

20

55. The method of claim 52 wherein, in the compound of formula III, R₅ is hydrogen, hydroxy, C(O)R₁₄ or C(O)OR₁₄, wherein R₁₄ is as defined in claim 32.

25

56. The method of claim 52, wherein the compound is selected from the group consisting of

3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 110)

3-(5-dimethylaminomethyl-2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 32)

30

3-{2-[(2-dimethylamino-ethyl)-methyl-amino]-5-methoxy-benzylidene}-1,3-dihydro-indol-2-one (Compound 33)

3-{4-[(2-dimethylamino-ethyl)-methyl-amino]-3',5'-dimethyl-biphenyl-3-ylmethylene}-1,3-dihydro-indol-2-one (Compound 34)

3-(2-dimethylaminomethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 35)

35

3-[2-(2-diethylamino-ethoxy)-5-methoxy-benzylidene]-1,3-dihydro-indol-2-one (Compound 36)

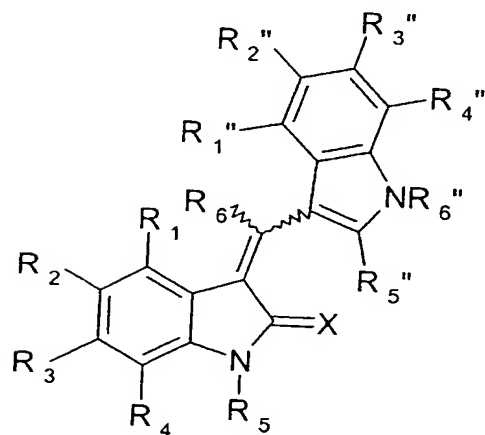
- 3-[2-(2-diethylamino-ethoxy)-5-methoxy-benzylidene]-1,3-dihydro-indol-2-one;
hydrochloride (Compound 37)
- 3-[5-methoxy-2-(2-morpholin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one
(Compound 38)
- 5 3-[5-methoxy-2-(2-piperidin-1-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one
(Compound 39)
- 1-acetyl-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 44)
- 3-(2,5-dimethoxy-benzylidene)-1-hydroxy-1,3-dihydro-indol-2-one (Compound 48)
- 3-(2,5-dimethoxy-benzylidene)-1-methoxy-1,3-dihydro-indol-2-one (Compound 50)
- 10 3-(phenyl-4-tolyl-methylene)-1,3-dihydro-indol-2-one (Compound 53)
- 3-[bis-(4-methoxy-phenyl)-methylene]-1,3-dihydro-indol-2-one (Compound 54)
- 3-[1-(2,5-dimethoxy-phenyl)-ethylidene]-1,3-dihydro-indol-2-one (Compound 55)
- 3-(4-hydroxy-3,5-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 95)
- 3-(3,5-di-tert-butyl-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 96)
- 15 3-(4-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 97)
- 3-(2-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 98)
- 3-(2,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 99)
- 3-(2,6-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 100)
- 3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 101)
- 20 3-(4-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 102)
- 3-(2,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 103)
- 3-(2,5-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 104)
- 3-(2,6-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 105)
- 3-benzylidene-1,3-dihydro-indol-2-one (Compound 106)
- 25 3-(4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 107)
- 3-(2,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 108)
- 3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 109)
- 3-(3,4-dimethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 111)
- 3-naphtalen-2-ylmethylene-1,3-dihydro-indol-2-one (Compound 112)
- 30 3-naphtalen-1-ylmethylene-1,3-dihydro-indol-2-one (Compound 113)
- 3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 114)
- 3-(3-nitro-benzylidene)-1,3-dihydro-indol-2-one (Compound 115)
- 3-(2-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 116)
- 3-(3-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 117)
- 35 3-(3-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 118)
- 3-(4-fluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 119)
- 3-anthracen-9-ylmethylene-1,3-dihydro-indol-2-one (Compound 120)

- 3-(5-bromo-2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 121)
3-(2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 122)
5-chloro-3-(4-isopropyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 123)
5-chloro-3-(4-dimethylamino-benzylidene)-1,3-dihydro-indol-2-one (Compound 124)
5 5-chloro-3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 125)
5-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 126)
5-Chloro-3-(2-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 127)
5-chloro-3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 128)
5-Chloro-3-(2,6-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 129)
10 5-Chloro-3-(2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 130)
5-chloro-3-(4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 131)
5-chloro-3-(4-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 132)
5-chloro-3-naphtalen-1-ylmethylene-1,3-dihydro-indol-2-one (Compound 133)
5-chloro-3-(4-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 134)
15 5-chloro-3-(4-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 135)
3-anthracen-9-ylmethylene-5-chloro-1,3-dihydro-indol-2-one (Compound 136)
5-chloro-3-naphtalen-2-ylmethylene-1,3-dihydro-indol-2-one (Compound 137)
5-chloro-3-(2,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 138)
5-chloro-3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 139)
20 5-chloro-3-(3,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 140)
5-Chloro-3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 141)
5-chloro-3-(3,5-di-tert-butyl-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one
(Compound 142)
5-chloro-3-(3,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 143)
25 3-benzylidene-5-Chloro-1,3-dihydro-indol-2-one (Compound 144)
5-chloro-3-(3-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 145)
5-chloro-3-(2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 146)
5-chloro-3-(2-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 147)
3-(3,5-dibromo-4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 148)
30 3-(3,4-difluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 149)
3-(2-hydroxy-naphtalen-1-ylmethylene)-1,3-dihydro-indol-2-one (Compound 150)
3-(4-methyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 151)
3-(3,4-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 152)
3-(3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 153)
35 3-(2-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 154)
3-(3-chloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 155)
3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 156)

- 3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 157)
3-(3-bromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 158)
3-(4-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 159)
3-(3-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 160)
5 3-(2,4-dihydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 161)
5-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 162)
3-(3,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 163)
3-(3,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 164)
3-(2,3-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 165)
10 3-(2-methoxy-naphtalen-1-ylmethylene)-1,3-dihydro-indol-2-one (Compound 166)
3-(2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 167)
3-(4-hydroxy-3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 168)
3-(3-hydroxy-4-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 169)
5-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 170)
15 6-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 171)
7-bromo-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 172)
3-(2,5-dimethoxy-benzylidene)-6-fluoro-1,3-dihydro-indol-2-one (Compound 173)
3-(2,5-dimethoxy-benzylidene)-5-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 174)
20 5-amino-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 175)
6-chloro-5-(2-chloro-acetyl)-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 176)
3-(2,5-dimethoxy-benzylidene)-5-hydroxy-1,3-dihydro-indol-2-one (Compound 177)
3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-5-carboxylic acid methyl
25 ester (Compound 178)
3-(9-ethyl-9H-carbazol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 179)
3-(2-hydroxy-3-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 180)
3-(2,5-dimethoxy-benzylidene)-4,5-difluoro-1,3-dihydro-indol-2-one (Compound 181)
3-(3,5-dichloro-2-hydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 182)
30 3-(2,5-diethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 183)
3-(2,5-dihydroxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 184)
3-(2,4,5-trimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 185)
3-(9-methyl-9H-carbazol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 186)
3-(2-hydroxy-5-trifluoromethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound
35 187)
3-(1H-indol-5-ylmethylene)-1,3-dihydro-indol-2-one (Compound 188)
3-(1H-indol-4-ylmethylene)-1,3-dihydro-indol-2-one (Compound 189)

- 3-(1H-indol-7-ylmethylene)-1,3-dihydro-indol-2-one (Compound 190)
- 3-(1,4-dimethyl-9H-carbazol-2-ylmethylene)-1,3-dihydro-indol-2-one (Compound 191)
- 3-(2-benzyloxy-4,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 192)
- 3-(2,5-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 193)
- 5 3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-7-carbonitrile (Compound 194)
- 3-(2,5-dimethoxy-benzylidene)-6-methanesulfonyl-1,3-dihydro-indol-2-one (Compound 195)
- 10 3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-5-carbonitrile (Compound 196)
- 3-(2,5-dimethoxy-benzylidene)-6-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 197)
- 3-(2,5-dimethoxy-benzylidene)-7-fluoro-1,3-dihydro-indol-2-one (Compound 198)
- 15 3-(2,5-dimethoxy-benzylidene)-2-oxo-2,3-dihydro-1H-indole-6-carbonitrile (Compound 199)
- 6-chloro-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 200)
- 3-(2,5-dibromo-benzylidene)-1,3-dihydro-indol-2-one (Compound 201)
- 3-(5-bromo-2-ethoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 202)
- 3-(5-bromo-2-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 203)
- 20 3-(2-fluoro-5-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 204)
- 3-(2,5-difluoro-benzylidene)-1,3-dihydro-indol-2-one (Compound 205)
- 3-(2-chloro-5-nitro-benzylidene)-1,3-dihydro-indol-2-one (Compound 206)
- 3-(2,5-bis-trifluoromethyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 207)
- 3-(2,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one (Compound 208)
- 25 3-(2-hydroxy-5-methoxy-benzylidene)-1,3-dihydro-indol-2-one (Compound 209)
- 3-(1H-indol-6-ylmethylene)-1,3-dihydro-indol-2-one (Compound 210)
- 3-(2,5-dimethoxy-benzylidene)-5-fluoro-1,3-dihydro-indol-2-one (Compound 211)
- 3-[4-(quinolin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 212)
- 3-[4-(naphthalen-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 213)
- 30 3-[3,5-dichloro-2-(quinolin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 214)
- 2-[4-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenoxy]-propionic acid (Compound 215)
- 2-benzyl-3-butylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-
- 35 benzenesulfonamide (Compound 216)
- 2-benzyl-3-benzylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-
- benzenesulfonamide (Compound 217)

- 3-[(furan-2-ylmethyl)-amino]-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2-phenoxy-benzenesulfonamide (Compound 218)
- 3-methylamino-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-2-phenoxy-benzenesulfonamide (Compound 219)
- 5 2-benzyl-3-ethoxy-5-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-benzenesulfonamide (Compound 220)
- [2-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenoxy]-acetic acid (Compound 221)
- 3-[4-(6-methyl-pyridin-2-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 222)
- 10 4-[4-(5-chloro-2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenyl]-piperazine-1-carbaldehyde (Compound 223)
- 5-chloro-3-(4-isopropyl-benzylidene)-1,3-dihydro-indol-2-one (Compound 224)
- 4-[4-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-phenyl]-piperazine-1-carbaldehyde (Compound 225)
- 15 3-[5-methoxy-2-(2-morpholin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one; hydrochloride (Compound 258)
- 3-[5-methoxy-2-(2-piperidin-1-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one; hydrochloride (Compound 259)
- 3-(2,5-dimethoxy-benzylidene)-5,7-difluoro-1,3-dihydro-indol-2-one (Compound 260)
- 20 3-[4-(1-quinolin-4-yl-ethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 261)
- 3-[4-(pyridin-4-ylmethoxy)-benzylidene]-1,3-dihydro-indol-2-one (Compound 262) and 5-amino-3-(2,5-dimethoxy-benzylidene)-1,3-dihydro-indol-2-one; methanesulfonic acid (Compound 265)
- 25 57. The method of claim 32 wherein the compound is a compound of general formula IV



IV

wherein R_1 , R_2 , R_3 , R_4 , R_5 , R_6 and X are as indicated in claim 1,

R_1'' , R_2'' , R_3'' , R_4'' and R_5'' are the same or different and independently selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl; carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, $-OR_{10}$, $-C(O)R_{10}$, $-C(O)OR_{10}$, $OC(O)R_{10}$, $-NR_{10}R_{11}$, $-C(O)NR_{10}R_{11}$, $-NHC(O)R_{10}$, $-SR_{10}$, $-S(O)R_{10}$, $-S(O)_2R_{10}$, $-S(O)_2NR_{10}R_{11}$ and $-S(O)OR_{10}$, wherein R_{10} and R_{11} are the same or different and independently selected from the group consisting of hydrogen, C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{10} and R_{11} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each of C_{1-12} -alkyl, C_{2-12} -alkenyl, C_{4-12} -alkadienyl, C_{6-12} -alkatrienyl, C_{2-12} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, halogen, trihalomethyl, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, hydroxy, carboxy, formyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, amino, carbamoyl, cyano, guanidino, carbamido, $-OR_{12}$, $-C(O)R_{12}$, $-C(O)OR_{12}$, $-OC(O)R_{12}$, $-NR_{12}R_{13}$, $-C(O)NR_{12}R_{13}$, $-NHC(O)R_{12}$, $-SR_{12}$, $-S(O)R_{12}$, $-S(O)_2R_{12}$, $-S(O)_2NR_{12}R_{13}$ and $-S(O)OR_{12}$, wherein R_{12} and R_{13} are the same or different and independently selected from the group consisting of hydrogen, C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl, or wherein R_{12} and R_{13} , together with the nitrogen atom to which they are attached form a heterocyclic or heteroaryl ring, each C_{1-6} -alkyl, C_{2-6} -alkenyl, C_{4-6} -alkadienyl, C_{2-6} -alkynyl, aryl, heteroaryl, carbocyclyl and heterocyclyl substituent being optionally substituted with one or more, same or different substituents selected from the group consisting of hydrogen, hydroxy, C_{1-4} -alkyl, C_{1-4} -alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} -alkylthio, C_{1-4} -alkylamino, C_{1-4} -alkoxycarbonyl, carboxy, $-CONH_2$, $S(O)NH_2$, aryl, heteroaryl, heterocyclyl or carbocyclyl, said aryl, heteroaryl, heterocyclyl or carbocyclyl being optionally substituted with one or more of hydrogen, hydroxy, C_{1-4} -alkyl, C_{1-4} -alkoxy, nitro, cyano, amino, oxo, halogen, trihalomethyl, C_{1-4} -alkylthio, C_{1-4} -alkylamino, C_{1-4} -alkoxycarbonyl, carboxy, $-CONH_2$ or $-S(O)NH_2$; and R_6'' is hydrogen, heterocyclyl, heteroaryl, $-C(O)R_{23}$, $-S(O)_2R_{23}$, $-C(O)OR_{23}$ or C_{1-6} -alkyl optionally substituted with heterocyclyl, heteroaryl or $-C(O)OR_{23}$, wherein R_{23} is hydrogen, C_{1-6} -alkyl, aryl, heteroaryl or heterocyclyl.

58. The method of claim 57 wherein, in the compound of formula IV, R_2 , R_3 , R_4 , R_5 , R_6 and X are as indicated in claim 31, and R_1'' , R_2'' , R_3'' , R_4'' and R_5'' are the same or different and independently selected from the group consisting of with C_{1-10} -alkyl, C_{1-}

alkoxy, aryl, heteroaryl, aryloxy, C₁₋₁₀alkylaryl, C₁₋₁₀alkylaryloxy, halogen, trihalomethyl, a sugar residue, S(O)R₁₈, S(O)₂R₁₈, S(O)₂NR₁₈R₁₉, S(O)₃R₁₈, SR₁₈, NO₂, NR₁₈R₁₉, OR₁₈, CN, CH₂OH, C(O)R₁₈, C(O)OR₁₈, OC(O)R₁₈, NHC(O)R₁₈, (CH₂)_nC(O)₂R₁₈ and C(O)NR₁₈R₁₉, wherein R₁₈ is hydrogen, C₁₋₆alkyl, heteroaryl or aryl, said C₁₋₆ alkyl, heteroaryl or aryl being optionally substituted with hydroxy or NR₂₆R₂₇, wherein R₂₆ and R₂₇ are independently hydrogen or C₁₋₆ alkyl or, together with the nitrogen atom to which they are attached, form a heteroaryl or heterocyclic ring, R₁₉ is hydrogen, C₁₋₆alkyl or aryl, and n is 0-3; and R₆'' is hydrogen, C₁₋₆ alkyl, heteroaryl, heteroaryl-C₁₋₆ alkyl, C(O)R₁₈, C(O)OR₁₈ or S(O)₂R₁₈, wherein R₁₈ is as indicated above.

59. The method of claim 57 wherein, in the compound of formula IV, R₅'' is hydrogen or C₁₋₆ alkyl.

60. The method of claim 54 wherein, in the compound of formula IV, R₆'' is hydrogen or C₁₋₆ alkyl.

61. The method of claim 57 wherein, in the compound of formula IV, R₅ is hydrogen, hydroxy, C(O)R₁₄ or C(O)OR₁₄, wherein R₁₄ is as defined in claim 32.

62. The method of claim 57 wherein the compound is selected from the group consisting of

3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 57)

[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid methyl ester (Compound 24)

[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid ethyl ester (Compound 25)

[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-acetic acid (Compound 26)

3-[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-propionic acid ethyl ester (Compound 27)

3-[3-(2-oxo-1,2-dihydro-indol-3-ylidenemethyl)-indol-1-yl]-propionic acid (Compound 28)

3-[1-(2-chloro-thiazol-5-ylmethyl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one (Compound 29)

3-(1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 30)

3-(1-propyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 31)

3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-indole-1-carboxylic acid *tert*-butyl ester (Compound 40)

- 1-hydroxy-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 47)
(1-Methyl-1H-indol-3-yl)-(2-oxo-1,2-dihydro-indol-3-ylidene)-acetic acid (Compound 56)
- 3-(2-phenyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 58)
- 5 3-(1-methyl-2-phenyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 59)
- 3-[2-(4-chloro-phenyl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one (Compound 60)
- 3-(2-naphthalen-2-yl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 61)
- 10 5-chloro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 62)
- 3-(5-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 63)
- 5,7-difluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 64)
- 5-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 65)
- 6-fluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 66)
- 15 6-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 67)
- 5-hydroxy-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 68)
- 3-(4,5,6,7-tetrafluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 69)
- 3-(6-fluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 70)
- 20 3-[2-(4-chloro-phenyl)-5-nitro-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-one (Compound 71)
- 7-bromo-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 72)
- 3-(6-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 73)
- 3-(7-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 74)
- 25 3-(2-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 75)
- 3-(5-fluoro-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 76)
- 3-(5-fluoro-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 77)
- 3-(5-methoxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 78)
- 3-(5-benzyloxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 79)
- 30 3-(6-methoxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 80)
- 3-(5-methoxy-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 81)
- 3-(6-methoxy-1-methyl-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 82)
- 35 3-(4-benzyloxy-1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 83)
- 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-6-carbonitrile (Compound 84)
- 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-7-carbonitrile (Compound 85)

- 3-(1H-indol-3-ylmethylene)-2-oxo-2,3-dihydro-1H-indol-5-carbonitrile (Compound 86)
7-fluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 87)
3-(1H-indol-3-ylmethylene)-6-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 88)
3-(1H-indol-3-ylmethylene)-6-methanesulfonyl-1,3-dihydro-indol-2-one (Compound
5 89)
3-(1H-indol-3-ylmethylene)-5-trifluoromethyl-1,3-dihydro-indol-2-one (Compound 90)
3-(1H-indol-3-ylmethylene)-5,6-dimethoxy-1,3-dihydro-indol-2-one (Compound 91)
4,5-difluoro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 92)
3-(1H-indol-3-ylmethylene)-5-methoxy-1,3-dihydro-indol-2-one (Compound 92A)
10 6-chloro-3-(1H-indol-3-ylmethylene)-1,3-dihydro-indol-2-one (Compound 93) and
3-[1-Methyl-2-(4-methyl-piperazin-1-yl)-1H-indol-3-ylmethylene]-1,3-dihydro-indol-2-
one (Compound 94)